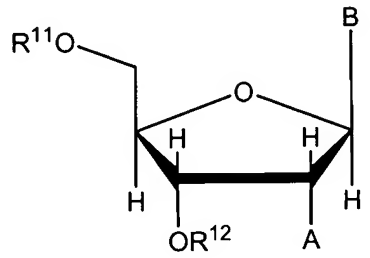
CLAIMS

I CLAIM:

1. A compound having the formula (III)



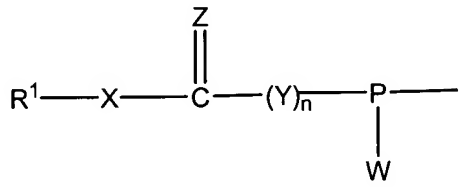
(III)

wherein:

A is hydrogen, hydroxyl, halogen, lower alkoxy, lower alkoxy-substituted lower alkoxy, SH, NH_2, azide or DL wherein D is O, S or N and L is a heteroatom-protecting group, unsubstituted hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl, or substituted heteroatom-containing hydrocarbyl;

B is a nucleobase selected from the group consisting of unprotected and protected purines, pyrimidines, and analogs thereof; and

one of R^{11} and R^{12} is a blocking group and the other has the formula (IV)



(IV)

in which

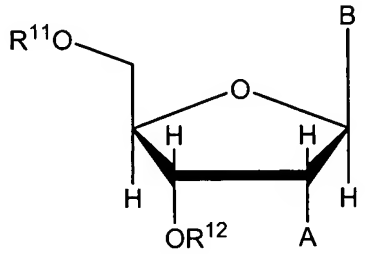
R^1 is hydrogen, a protecting group removable by an elimination reaction, hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl or substituted heteroatom-containing hydrocarbyl;

n is zero or 1;

W is NR^2R^3 or DL wherein R^2 and R^3 are independently selected from the group consisting of hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl and substituted heteroatom-containing hydrocarbyl, or R^2 and R^3 are linked to form a substituted or unsubstituted, five- or six-membered nitrogen-containing heterocycle, D is O, S or NH, and L is a heteroatom-protecting group, unsubstituted hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl, or substituted heteroatom-containing hydrocarbyl;

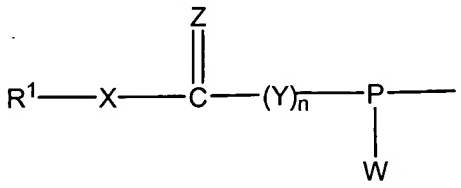
X is O, S NH or NR^7 wherein R^7 is hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl or substituted heteroatom-containing hydrocarbyl;
Y is $-(Y')_m-(CR^8R^9)-$ wherein m is zero or 1, Y' is hydrocarbylene, substituted hydrocarbylene, heteroatom-containing hydrocarbylene, or substituted heteroatom-containing hydrocarbylene, wherein R^8 and R^9 are independently selected from the group consisting of hydrogen, hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl and substituted heteroatom-containing hydrocarbyl; and
Z is O, S, NH or NR^{10} wherein R^{10} is as defined for R^7.

2. A compound having the formula (III)



(III)

wherein:
A is hydrogen, hydroxyl, or protected hydroxyl;
B is a nucleobase selected from the group consisting of unprotected and protected purines, pyrimidines, and analogs thereof; and
one of R^{11} and R^{12} is a blocking group and the other has the formula (IV)



(IV)

in which
R^1 is hydrogen, a protecting group removable by an elimination reaction, or an unsubstituted, substituted, heteroatom-containing or substituted heteroatom-containing moiety selected from the group consisting of alkyl, aryl, aralkyl, alkaryl, cycloalkyl, cycloalkylalkyl, cycloalkylaryl, alkenyl, cycloalkenyl, alkynyl and aralkynyl;
W is NR^2R^3 or DL wherein R^2 and R^3 are unsubstituted, substituted, heteroatom-containing or substituted heteroatom-containing moieties selected from the group consisting of alkyl, aryl, aralkyl, alkaryl, cycloalkyl, cycloalkylalkyl, cycloalkylaryl,

alkenyl, cycloalkenyl, alkynyl and aralkynyl, or R^2 and R^3 are linked to form a substituted or unsubstituted, five- or six-membered nitrogen-containing heterocycle, D is O, S or NH, and L is a heteroatom-protecting group removable by an elimination reaction;

n is zero or 1;

X is O or S;

Y is $-(Y')_m-(CR^8R^9)-$ wherein m is zero or 1, Y' is an unsubstituted, substituted, heteroatom-containing or substituted heteroatom-containing moiety selected from the group consisting of alkylene, arylene, aralkylene, alkarylene, cycloalkylene, cycloalkylalkylene, cycloalkylarylene, alkenylene, cycloalkenylene, alkynylene and aralkynylene, wherein R^8 and R^9 are independently selected from hydrogen and unsubstituted, substituted, heteroatom-containing or substituted heteroatom-containing moieties selected from the group consisting of alkyl, aryl, aralkyl, alkaryl, cycloalkyl, cycloalkylalkyl, cycloalkylaryl, alkenyl, cycloalkenyl, alkynyl and aralkynyl; and

Z is O or S.

3. The compound of claim 2, wherein n is zero.

4. The compound of claim 2, wherein n is 1.

5. The compound of claim 4, wherein m is zero.

6. The compound of claim 4, wherein m is 1.

7. The compound of claim 2, wherein Z is O.

8. The compound of claim 7, wherein X is O.

9. The compound of claim 2, wherein R^1 is a protecting group removable by an elimination reaction.

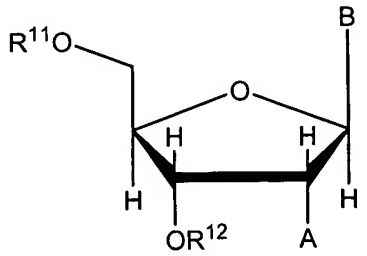
10. The compound of claim 9, wherein R^1 is selected from the group comprised of β-cyanoethyl, methyl-β-cyanoethyl, dimethyl-β-cyanoethyl, phenylsulfonylethyl, methyl-sulfonylethyl, *p*-nitrophenylsulfonylethyl, 2,2,2-trichloro-1,1-dimethylethyl, 2-(4-pyridyl)ethyl, 2-(2-pyridyl)ethyl, allyl, 4-methylene-1-acetylphenol,. -thiobenzoylethyl, 1,1,1,3,3,3-hexafluoro-2-propyl, 2,2,2-trichloroethyl, *p*-nitrophenylethyl, *p*-cyanophenyl-ethyl, 9-fluorenylmethyl, 1,3-dithianyl-2-methyl, 2-(trimethylsilyl)ethyl, 2-methylthioethyl, 2-(diphenylphosphino)ethyl, 1-methyl-1-phenylethyl, 3-buten-1-yl, 4-(trimethylsilyl)-2-buten-1-yl, cinnamyl, -methylcinnamyl, and 8-quinolyl.

11. The compound of claim 2, wherein R^1 is hydrogen.

12. The compound of claim 2, wherein NR^2R^3 is selected from the group consisting of dimethylamino, diethylamino, diisopropylamino, dibutylamino, methylpropylamino, methylhexylamino, methylcyclohexylamino, ethylcyclopropylamino, ethylchloroethylamino, methylbenzylamino, methylphenylamino, thiomorpholino, methyltoluylamino, methyl-*p*-chlorophenylamino, methylcyclohexylamino, bromobutylcyclohexylamino, methyl-*p*-cyanophenylamino, ethyl-β-cyanoethylamino, piperidino, 2,6,-dimethylpiperidino, pyrrolidino, piperazino, isopropylcyclohexylamino, and morpholino.

13. The compound of claim 12, wherein R^2 and R^3 are isopropyl.

14. A compound having the formula (III)



(III)

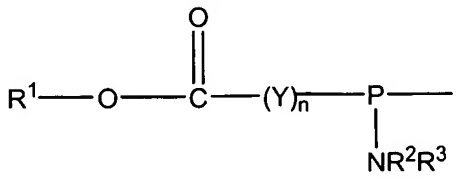
wherein:

A is hydrogen, hydroxyl, or protected hydroxyl;

B is a nucleobase selected from the group consisting of unprotected and protected

purines, pyrimidines, and analogs thereof; and

one of R^{11} and R^{12} is a blocking group and the other has the formula (IV)



(V)

wherein:

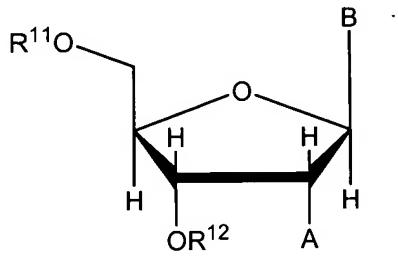
R^1 is hydrogen, lower alkyl, or a hydroxyl-protecting group removable by an elimination reaction;

R^2 and R^3 are lower alkyl, or R^2 and R^3 are linked to form a piperidino, piperazino or morpholino ring;

n is zero or 1; and

Y is $-(Y')_m-(CH_2)-$ wherein m is zero or 1 and Y' is lower alkylene.

15. A compound having the formula (III)



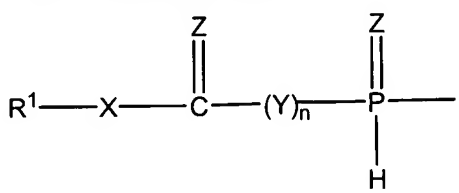
(III)

wherein:

A is hydrogen, hydroxyl, halogen, lower alkoxy, lower alkoxy-substituted lower alkoxy, SH, NH_2, azide or DL wherein D is O, S or N and L is a heteroatom-protecting group, unsubstituted hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl, or substituted heteroatom-containing hydrocarbyl;

B is a nucleobase selected from the group consisting of unprotected and protected purines, pyrimidines, and analogs thereof; and

one of R^{11} and R^{12} is a blocking group and the other has the formula (VI)



(VI)

in which

R^1 is hydrogen, a protecting group removable by an elimination reaction, hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl or substituted heteroatom-containing hydrocarbyl;

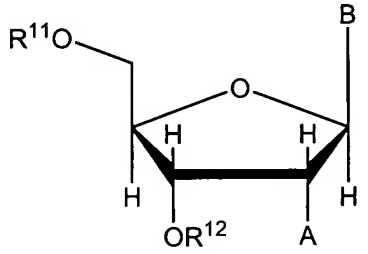
n is zero or 1;

X is O, S NH or NR^7 wherein R^7 is hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl or substituted heteroatom-containing hydrocarbyl;

Y is $-(Y')_m-(CR^8R^9)-$ wherein m is zero or 1, Y' is hydrocarbylene, substituted hydrocarbylene, heteroatom-containing hydrocarbylene, or substituted heteroatom-containing hydrocarbylene, wherein R^8 and R^9 are independently selected from the group consisting of hydrogen, hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl and substituted heteroatom-containing hydrocarbyl; and

each Z is independently O, S, NH or NR^{10} wherein R^{10} is as defined for R^7.

16. A compound having the formula (III)



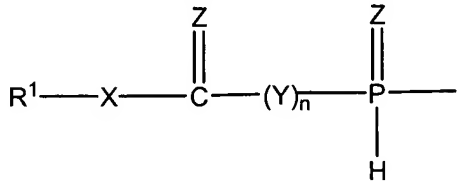
(III)

wherein:

A is hydrogen, hydroxyl, or protected hydroxyl;

B is a nucleobase selected from the group consisting of unprotected and protected purines, pyrimidines, and analogs thereof; and

one of R^{11} and R^{12} is a blocking group and the other has the formula (VI)



(VI)

in which

R^1 is hydrogen, a protecting group removable by an elimination reaction, or an unsubstituted, substituted, heteroatom-containing or substituted heteroatom-containing moiety selected from the group consisting of alkyl, aryl, aralkyl, alkaryl, cycloalkyl,

cycloalkylalkyl, cycloalkylaryl, alkenyl, cycloalkenyl, alkynyl and aralkynyl;
n is zero or 1;
X is O or S;
Y is $-(Y')_m-(CR^8R^9)-$ wherein m is zero or 1, Y' is an unsubstituted, substituted, heteroatom-containing or substituted heteroatom-containing moiety selected from the group consisting of alkylene, arylene, aralkylene, alkarylene, cycloalkylene, cycloalkylalkylene, cycloalkylarylene, alkenylene, cycloalkenylene, alkynylene and aralkynylene, wherein R^8 and R^9 are independently selected from hydrogen and unsubstituted, substituted, heteroatom-containing or substituted heteroatom-containing moieties selected from the group consisting of alkyl, aryl, aralkyl, alkaryl, cycloalkyl, cycloalkylalkyl, cycloalkylaryl, alkenyl, cycloalkenyl, alkynyl and aralkynyl; and
each Z is independently O or S.

17. The compound of claim 16, wherein n is zero.

18. The compound of claim 16, wherein n is 1.

19. The compound of claim 16, wherein m is zero.

20. The compound of claim 16, wherein m is 1.

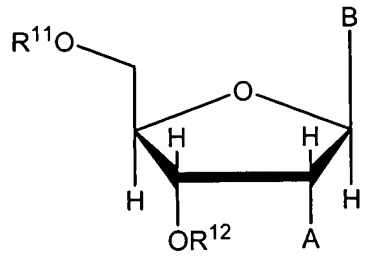
21. The compound of claim 20, wherein R^1 is a protecting group removable by an elimination reaction.

22. The compound of claim 21, wherein R^1 is selected from the group comprised of β-cyanoethyl, methyl-β-cyanoethyl, dimethyl-β-cyanoethyl, phenylsulfonylethyl, methyl-sulfonylethyl, *p*-nitrophenylsulfonylethyl, 2,2,2-trichloro-1,1-dimethylethyl, 2-(4-pyridyl)ethyl, 2-(2-pyridyl)ethyl, allyl, 4-methylene-1-acetylphenol,. -thiobenzoylethyl, 1,1,1,3,3,3-hexafluoro-2-propyl, 2,2,2-trichloroethyl, *p*-nitrophenylethyl, *p*-cyanophenyl-ethyl, 9-fluorenylmethyl, 1,3-dithionyl-2-methyl, 2-(trimethylsilyl)ethyl, 2-methylthioethyl, 2-(diphenylphosphino)ethyl, 1-methyl-1-phenylethyl, 3-buten-1-yl, 4-

(trimethylsilyl)-2-buten-1-yl, cinnamyl, -methylcinnamyl, and 8-quinolyl.

23. The compound of claim 20, wherein R^1 is hydrogen.

24. A compound having the formula (III)



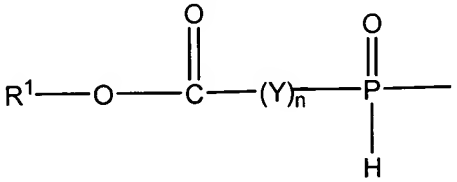
(III)

wherein:

A is hydrogen, hydroxyl, or protected hydroxyl;

B is a nucleobase selected from the group consisting of unprotected and protected purines, pyrimidines, and analogs thereof; and

one of R^{11} and R^{12} is a blocking group and the other has the formula (VII)



(VII)

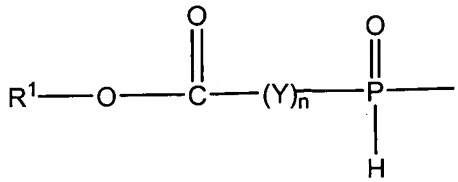
wherein:

R^1 is hydrogen, lower alkyl, or a hydroxyl-protecting group;

n is zero or 1; and

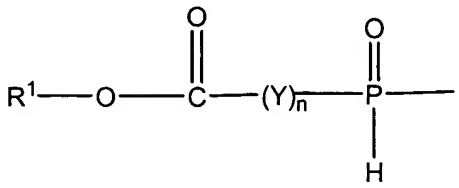
Y is $-(Y')_m-(CH_2)-$ wherein m is zero or 1 and Y' is lower alkylene.

25. The compound of claim 24, wherein R^{11} is a blocking group and R^{12} has the formula (VII)



(VII)

26. The compound of claim 25, wherein R^{12} is a blocking group and R^{11} has the formula (VII)



(VII)